

AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions and listings of claims in the application.

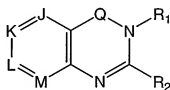
Listing of Claims

1-10. (cancelled)

11. (withdrawn) A compound according to claim 1, wherein R_1 is a substituted or unsubstituted phenyl.

12. (withdrawn) A compound according to claim 1, wherein R_1 is a substituted or unsubstituted heteroaryl.

13. (currently amended) A compound of Formula XXIX:



XXIX

wherein

Q is selected from the group consisting of CO, CS and $C=NR_9$;

J, K, L, and M are each CR_{12} ;

R_1 is benzyl, either unsubstituted or substituted with a substituent selected from the group consisting of (C_{1-10}) alkyl, (C_{3-12}) cycloalkyl, hetero (C_{3-12}) cycloalkyl, aryl (C_{1-10}) alkyl, heteroaryl (C_{1-5}) alkyl, (C_{9-12}) bicycloaryl, hetero (C_{4-12}) bicycloaryl, carbonyl (C_{1-3}) alkyl, thiocarbonyl (C_{1-3}) alkyl, sulfonyl (C_{1-3}) alkyl, sulfinyl (C_{1-3}) alkyl, imino (C_{1-3}) alkyl, amino, aryl, heteroaryl, hydroxy, alkoxy, aryloxy, heteroaryloxy, carbonyl, cyano, nitro, halo, imino, sulfonyl and sulfinyl groups;

R_2 is -UV;

U is selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{CH}_2-$, $-\text{C}(\text{O})-$, $-\text{CH}_2\text{C}(\text{O})-$, $-\text{C}(\text{O})\text{CH}_2-$, $-\text{CH}_2-\text{C}(\text{O})\text{CH}_2-$, $-\text{C}(\text{O})\text{CH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{C}(\text{O})-$, $-\text{O}-$, $-\text{OCH}_2-$, $-\text{CH}_2\text{O}-$, $-\text{CH}_2\text{OCH}_2-$, $-\text{OCH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{O}-$, $-\text{N}(\text{CH}_3)-$, $-\text{NHCH}_2-$, $-\text{CH}_2\text{NH}-$, $-\text{CH}_2\text{NHCH}_2-$, $-\text{NHCH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{NH}-$, $-\text{NH}-\text{C}(\text{O})-$, $-\text{NCH}_3-\text{C}(\text{O})-$, $-\text{C}(\text{O})\text{NH}-$, $-\text{C}(\text{O})\text{NCH}_3-$, $-\text{NHC}(\text{O})\text{CH}_2-$, $-\text{C}(\text{O})\text{NHCH}_2-$, $-\text{C}(\text{O})\text{CH}_2\text{NH}-$, $-\text{CH}_2\text{NHC}(\text{O})-$, $-\text{CH}_2\text{C}(\text{O})\text{NH}-$, $-\text{NHCH}_2\text{C}(\text{O})-$, $-\text{S}-$, $-\text{SCH}_2-$, $-\text{CH}_2\text{S}-$, $-\text{SCH}_2\text{CH}_2-$, $-\text{CH}_2\text{SCH}_2-$, $-\text{CH}_2\text{CH}_2\text{S}-$, $-\text{C}(\text{O})\text{S}-$, $-\text{C}(\text{O})\text{SCH}_2-$, $-\text{CH}_2\text{C}(\text{O})\text{S}-$, $-\text{C}(\text{O})\text{CH}_2\text{S}-$, $-\text{CH}_2\text{SC}(\text{O})-$, $-\text{CHR}_9-$, $-\text{C}(\text{R}_9)(\text{R}_9)-$, $-\text{N}(\text{H})-$, $-\text{N}(\text{R}_9)-$, $-(\text{C}_{3-7})\text{cycloalkyl}$, $(\text{C}_{3-6})\text{heterocycloalkyl}$, azetidin-1-yl, pyrrolidin-1-yl, piperidin-yl, hexahydroazepan-1-yl and piperazin-1-yl, each unsubstituted or substituted with a substituent selected from the group consisting of ~~aldehyde~~, alicyclic, aliphatic, alkyl, alkylene, alkylidene, ~~amide~~, amino, aminoalkyl, aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl group, cycloalkyl, cycloalkylene, ~~ester~~, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl, ~~oxo~~, hydroxy, ~~iminoketone~~, ~~ketone~~, nitro, oxoalkyl, and oxoalkyl moieties, and monovalent radicals derived from aldehydes, amides, esters, iminoketones and ketones;

V ~~comprises~~ is selected from the group consisting of a primary, secondary or tertiary-amine, a heterocycloalkyl ~~comprising~~ having a nitrogen ring atom, ~~or~~ and a heteroaryl ~~comprising~~ having a nitrogen ring atom;

each R_9 is independently ~~hydrogen or~~ is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each unsubstituted or substituted with a substituent selected from the group consisting of ~~aldehyde~~, alicyclic, aliphatic, alkyl, alkylene, alkylidene, ~~amide~~, amino, aminoalkyl, aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl group, cycloalkyl, cycloalkylene, ~~ester~~, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl, ~~oxo~~, hydroxy, ~~iminoketone~~, ~~ketone~~, nitro, oxoalkyl, and oxoalkyl moieties, and monovalent radicals derived from aldehydes, amides, esters, iminoketones and ketones; and

each R_{12} is hydrogen or is independently selected from the group consisting of halo, perhalo(C_{1-10})alkyl, CF_3 , alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl,

cycloalkyl, heterocycloalkyl, amino, ~~thio~~-cyano, nitro, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, and monovalent radicals derived from thiols, each unsubstituted or substituted with one or more substituents selected from the group consisting of ~~aldehyde~~, alicyclic, aliphatic, alkyl, alkylene, alkylidene, ~~amide~~, amino, aminoalkyl, aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl, cycloalkyl, cycloalkylene, ~~ester~~, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl, ~~exo~~-hydroxy, ~~iminoketone~~, ~~ketone~~, nitro, oxaalkyl and oxaalkyl moieties, ~~or two R₁₂ are taken together to form a ring fused to or bridged to the ring formed by J, K, L and M, and monovalent radicals derived from aldehydes, amides, esters, iminoketones and ketones.~~

14. (cancelled)

15. (withdrawn) A compound according to claim 13, wherein the compound is a compound where J comprises a nitrogen ring atom.

16. (withdrawn) A compound according to claim 13, wherein the compound is a compound where K comprises a nitrogen ring atom.

17. (withdrawn) A compound according to claim 13, wherein the compound is a compound where L comprises a nitrogen ring atom.

18. (withdrawn) A compound according to claim 13, wherein the compound is a compound where M comprises a nitrogen ring atom.

19. (withdrawn) A compound according to claim 13, wherein the compound is a compound where J and L each comprise a nitrogen ring atom or J and K each comprise a nitrogen ring atom.

20. (withdrawn) A compound according to claim 13, wherein the compound is a compound where K and L each comprise a nitrogen ring atom.

21. (withdrawn) A compound according to claim 13, wherein the compound is a compound where K and M each comprise a nitrogen ring atom.

22. (withdrawn) A compound according to claim 13, wherein the compound is a compound where J and M each comprise a nitrogen ring atom or L and M each comprise a nitrogen ring atom.

23. (withdrawn) A compound according to claim 13, wherein at least two of J, K, L and M comprise a nitrogen ring atom.

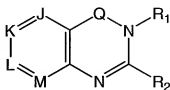
24. (withdrawn) A compound according to claim 13, wherein at least three of J, K, L and M comprise a nitrogen ring atom.

25. (withdrawn) A compound according to claim 13, wherein two R₁₂ are taken together to form a ring fused to or bridged to the ring formed by J, K, L, and M.

26. (cancelled)

27. (original) A compound according to claim 13, according to claim 13, wherein K is CR₁₂, where R₁₂ is independently selected from the group consisting of halo, perhalo(C₁₋₁₀)alkyl, CF₃, cyano, nitro, alkyl, aryloxy, heteroaryloxy, amino, and alkoxy, each substituted or unsubstituted.

28. (currently amended) A compound according to claim 13, of Formula XXIX:



XXIX

wherein

Q is selected from the group consisting of CO, CS and C=NR₂;

J, L, and M are each CR₁₂, where each R₁₂ is hydrogen or is independently selected from the group consisting of halo, perhalo(C₁₋₁₀)alkyl, CF₃, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, cyano, nitro, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, and monovalent radicals derived from thiols, each unsubstituted or substituted with one or more substituents selected from the group consisting of alicyclic, aliphatic, alkyl, alkylene, alkylidene, amino, aminoalkyl, aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl, cycloalkyl, cycloalkylene, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl, hydroxy, nitro, oxaalkyl and oxoalkyl moieties, and monovalent radicals derived from aldehydes, amides, esters, iminoketones and ketones;

K is CR₁₂, where R₁₂ is independently selected from the group consisting of heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryl, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, ~~this~~-a carbonyl group, imine group, sulfonyl group and sulfinyl group, and monovalent radicals derived from thiols, each ~~substituted or~~ unsubstituted or substituted with one or more substituents selected from the group consisting of alicyclic, aliphatic, alkyl, alkylene, alkylidene, amino, aminoalkyl, aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl, cycloalkyl, cycloalkylene, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl, hydroxy, nitro, oxaalkyl and oxoalkyl moieties, and monovalent radicals derived from aldehydes, amides, esters, iminoketones and ketones;

R₁ is benzyl, either unsubstituted or substituted with a substituent selected from the group consisting of (C₁₋₁₀)alkyl, (C₃₋₁₂)cycloalkyl, hetero(C₃₋₁₂)cycloalkyl, aryl(C₁₋₁₀)alkyl, heteroaryl(C₁₋₅)alkyl, (C₉₋₁₂)bicycloaryl, hetero(C₄₋₁₂)bicycloaryl, carbonyl (C₁₋₃)alkyl, thiocarbonyl (C₁₋₃)alkyl, sulfonyl (C₁₋₃)alkyl, sulfinyl (C₁₋₃)alkyl, imino (C₁₋₃)alkyl, amino, aryl, heteroaryl, hydroxy, alkoxy, aryloxy, heteroaryloxy, carbonyl, cyano, nitro, halo, imino, sulfonyl and sulfinyl groups;

R₂ is -UV;

U is selected from the group consisting of -CH₂-, -CH₂CH₂-, -CH₂CH₂CH₂-, -C(O)-, -CH₂C(O)-, -C(O)CH₂-, -CH₂-C(O)CH₂-, -C(O)CH₂CH₂-, -CH₂CH₂C(O)-, -O-, -OCH₂-, -CH₂O-, -CH₂OCH₂-, -OCH₂CH₂-, -CH₂CH₂O-, -N(CH₃)-, -NHCH₂-, -CH₂NH-, -CH₂NHCH₂-, -NHCH₂CH₂-, -CH₂CH₂NH-, -NH-C(O)-, -NCH₃-C(O)-, -C(O)NH-, -C(O)NCH₃-, -NHC(O)CH₂-, -C(O)NHCH₂-, -C(O)CH₂NH-, -CH₂NHC(O)-, -CH₂C(O)NH-, -NHCH₂C(O)-, -S-, -SCH₂-, -CH₂S-, -SCH₂CH₂-, -CH₂SCH₂-, -CH₂CH₂S-, -C(O)S-, -C(O)SCH₂-, -CH₂C(O)S-, -C(O)CH₂S-, -CH₂SC(O)-, -CHR₉-, -C(R₉)(R₉)-, -N(H)-, -N(R₉)-, (C₃₋₇)cycloalkyl, (C₃₋₆)heterocycloalkyl, azetidin-1-yl, pyrrolidin-1-yl, piperidin-yl, hexahydroazepan-1-yl and piperazin-1-yl, each unsubstituted or substituted with a substituent selected from the group consisting of alicyclic, aliphatic, alkyl, alkylene, alkylidene, amino, aminoalkyl, aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl group, cycloalkyl, cycloalkylene, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl, hydroxy, nitro, oxaalkyl, and oxaalkyl moieties, and monovalent radicals derived from aldehydes, amides, esters, iminoketones and ketones;

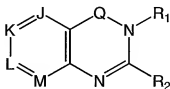
V is selected from the group consisting of a primary, secondary or tertiary-amine, a heterocycloalkyl having a nitrogen ring atom, and a heteroaryl having a nitrogen ring atom;

each R₉ is independently hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each unsubstituted or substituted with a substituent selected from the group consisting of alicyclic, aliphatic, alkyl, alkylene, alkylidene, amino, aminoalkyl, aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl group, cycloalkyl, cycloalkylene, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl, hydroxy, nitro, oxaalkyl, and oxaalkyl moieties, and monovalent radicals derived from aldehydes, amides, esters, iminoketones and ketones.

29. (original) A compound according to claim 13, wherein K is CR₁₂, where R₁₂ is independently selected from the group consisting of chloro, bromo, fluoro, iodo, methoxy, morpholin-4-yl, and pyrrolidin-1-yl, each substituted or unsubstituted.

30. (cancelled)

31. (currently amended) A compound ~~according to claim 13, of~~ Formula XXIX:



XXIX

wherein

Q is selected from the group consisting of CO, CS and C=NR₂;

J, K, and M are each CR₁₂, where each R₁₂ is hydrogen or is independently selected from the group consisting of halo, perhalo(C₁₋₁₀)alkyl, CF₃, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, cyano, nitro, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, and monovalent radicals derived from thiols, each unsubstituted or substituted with one or more substituents selected from the group consisting of alicyclic, aliphatic, alkyl, alkylene, alkylidene, amino, aminoalkyl, aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl, cycloalkyl, cycloalkylene, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl, hydroxy, nitro, oxaalkyl and oxoalkyl moieties, and monovalent radicals derived from aldehydes, amides, esters, iminoketones and ketones;

L is CR₁₂, where R₁₂ is independently selected from the group consisting of halo, perhalo(C₁₋₁₀)alkyl, CF₃, cyano, nitro, alkyl, aryloxy, heteroaryloxy, amino, morpholin-4-yl, and pyrrolidin-1-yl, and alkoxy, each substituted or unsubstituted or substituted with one or more substituents selected from the group consisting of alicyclic, aliphatic, alkyl, alkylene, alkylidene, amino, aminoalkyl, aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl, cycloalkyl, cycloalkylene, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl,

hydroxy, nitro, oxaalkyl and oxoalkyl moieties, and monovalent radicals derived from aldehydes, amides, esters, iminoketones and ketones;

R₁ is benzyl, either unsubstituted or substituted with a substituent selected from the group consisting of (C₁₋₁₀)alkyl, (C₃₋₁₂)cycloalkyl, hetero(C₃₋₁₂)cycloalkyl, aryl(C₁₋₁₀)alkyl, heteroaryl(C₁₋₅)alkyl, (C₉₋₁₂)bicycloaryl, hetero(C₄₋₁₂)bicycloaryl, carbonyl (C₁₋₃)alkyl, thiocarbonyl (C₁₋₃)alkyl, sulfonyl (C₁₋₃)alkyl, sulfinyl (C₁₋₃)alkyl, imino (C₁₋₃)alkyl, amino, aryl, heteroaryl, hydroxy, alkoxy, aryloxy, heteroaryloxy, carbonyl, cyano, nitro, halo, imino, sulfonyl and sulfinyl groups;

R₂ is -UV;

U is selected from the group consisting of -CH₂-, -CH₂CH₂-, -CH₂CH₂CH₂-, -C(O)-, -CH₂C(O)-, -C(O)CH₂-, -CH₂-C(O)CH₂-, -C(O)CH₂CH₂-, -CH₂CH₂C(O)-, -O-, -OCH₂-, -CH₂O-, -CH₂OCH₂-, -OCH₂CH₂-, -CH₂CH₂O-, -N(CH₃)-, -NHCH₂-, -CH₂NH-, -CH₂NHCH₂-, -NHCH₂CH₂-, -CH₂CH₂NH-, -NH-C(O)-, -NCH₃-C(O)-, -C(O)NH-, -C(O)NCH₃-, -NHC(O)CH₂-, -C(O)NHCH₂-, -C(O)CH₂NH-, -CH₂NHC(O)-, -CH₂C(O)NH-, -NHCH₂C(O)-, -S-, -SCH₂-, -CH₂S-, -SCH₂CH₂-, -CH₂SCH₂-, -CH₂CH₂S-, -C(O)S-, -C(O)SCH₂-, -CH₂C(O)S-, -C(O)CH₂S-, -CH₂SC(O)-, -CHR₉-, C(R₉)(R₉)-, -N(H)-, -N(R₉)-, (C₃₋₇)cycloalkyl, (C₃₋₆)heterocycloalkyl, azetidin-1-yl, pyrrolidin-1-yl, piperidin-yl, hexahydroazepan-1-yl and piperazin-1-yl, each unsubstituted or substituted with a substituent selected from the group consisting of alicyclic, aliphatic, alkyl, alkylene, alkylidene, amino, aminoalkyl, aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl group, cycloalkyl, cycloalkylene, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl, hydroxy, nitro, oxaalkyl, and oxoalkyl moieties, and monovalent radicals derived from aldehydes, amides, esters, iminoketones and ketones;

V is selected from the group consisting of a primary, secondary or tertiary-amine, a heterocycloalkyl having a nitrogen ring atom, and a heteroaryl having a nitrogen ring atom;

each R₉ is independently hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each unsubstituted or substituted with a substituent selected from the group consisting of alicyclic, aliphatic, alkyl, alkylene, alkylidene, amino, aminoalkyl,

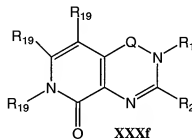
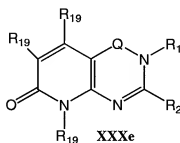
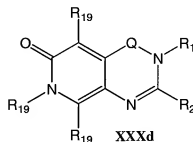
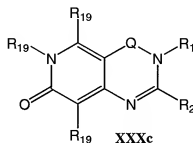
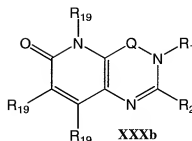
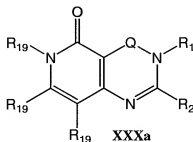
aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl group, cycloalkyl, cycloalkylene, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl, hydroxy, nitro, oxaalkyl, and oxoalkyl moieties, and monovalent radicals derived from aldehydes, amides, esters, iminoketones and ketones.

32. (cancelled)

33. (withdrawn) A compound according to claim 13, wherein:

K is CR₁₂, where R₁₂ is independently selected from the group consisting of halo, perhalo(C₁₋₁₀)alkyl, CF₃, cyano, nitro, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted; and L is nitrogen.

34. (withdrawn) A compound comprising a member selected from the group consisting of Formulae XXXa, XXXb, XXXc, XXXd, XXXe and XXXf:



wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

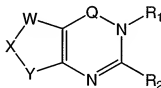
R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

each R₁₉ is independently selected from the group consisting of hydrogen, halo, perhalo(C₁₋₁₀)alkyl, CF₃, cyano, nitro, alkyl, alkene, alkyne, aryl, heteroaryl,

aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted, with the proviso that R₁₉ is not alkylthio, arylthio, halo, cyano, nitro, and thio in the case where the ring atom to which R₁₉ is bound is nitrogen.

35. (withdrawn) A compound according to claim 34, wherein two R₁₉ are taken together to form a substituted or unsubstituted fused or bridged ring.

36. (withdrawn) A compound comprising Formula XXXI:



XXXI

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

W, X, and Y are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein; and

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

37. (withdrawn) A compound according to claim 36, wherein at least one of W, X, and Y is CO.

38. (withdrawn) A compound according to claim 36, wherein at least one of W, X, and Y is SO.

39. (withdrawn) A compound according to claim 36, wherein at least one of W, X, and Y is SO₂.

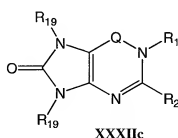
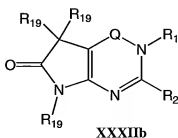
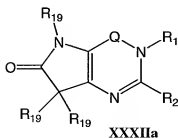
40. (withdrawn) A compound according to claim 36, wherein at least one of W, X, and Y comprises a ring nitrogen atom.

41. (withdrawn) A compound according to claim 36, wherein at least two of W, X, and Y comprises a ring nitrogen atom.

42. (withdrawn) A compound according to claim 36, wherein W and Y are taken together to form a substituted or unsubstituted bridged ring relative to the ring formed by W, X and Y.

43. (withdrawn) A compound according to claim 36, wherein two of W, X, and Y are taken together to form a substituted or unsubstituted ring fused to the ring formed by W, X and Y.

44. (withdrawn) A compound comprising a member selected from the group consisting of Formulae XXXIIa, XXXIIb or XXXIIc:



wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

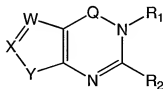
R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

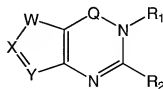
each R₁₉ is independently selected from the group consisting of hydrogen, halo, perhalo(C₁₋₁₀)alkyl, CF₃, cyano, nitro, alkyl, alkene, alkyne, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted, with the proviso that R₁₉ is not alkylthio, arylthio, halo, cyano, nitro, and thio in the case where the ring atom to which R₁₉ is bound is nitrogen.

45. (withdrawn) A compound according to claim 44, wherein two R₁₉ are taken together to form a substituted or unsubstituted bridged or spiro ring.

46. (withdrawn) A compound comprising Formula XXXIIIa or Formula XXXIIIb:



XXXIIIa



XXXIIIb

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

W, X, and Y are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein; and

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

47. (withdrawn) A compound according to claim 46, wherein the compound is a compound of Formula XXXIIIa wherein Y is selected from the group consisting of CO, SO or SO₂.

48. (withdrawn) A compound according to claim 46, wherein the compound is a compound of Formula XXXIIIb wherein W is selected from the group consisting of CO, SO or SO₂.

49. (withdrawn) A compound according to claim 46, wherein W comprise a ring nitrogen atom.

50. (withdrawn) A compound according to claim 46, wherein X comprise a ring nitrogen atom.

51. (withdrawn) A compound according to claim 46, wherein Y comprise a ring nitrogen atom.

52. (withdrawn) A compound according to claim 46, wherein at least two of W, X, and Y comprises a ring nitrogen atom.

53. (withdrawn) A compound according to claim 46, wherein two of W, X, and Y are taken together and substituted through available valencies to form a substituted or unsubstituted ring fused or bridged to the ring formed by W, X and Y.

54. (withdrawn) A compound according to claim 46, wherein W, X, and Y are selected such that the compound comprises a ring system selected from the group consisting of 4-oxo-4H-thieno[3,2-d]pyrimidine, 7-oxo-1,2,3,7-tetrahydro-8-thia-4,6-diaza-cyclopenta[a]indene, 7-methyl-6-oxo-6,7-dihydro-purine, and 6-oxo-6,9-dihydro-purine, each substituted or unsubstituted.

55. (withdrawn) A compound comprising Formulae XXXIVa, XXXIVb, or XXXIVc:



wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

X is selected from the group of moieties where the ring atom is either C, N, O or S in Formula XXIVa, or X is selected from the group of moieties where the ring atom is either C or N in Formula XXXIVb or Formula XXXIVc;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

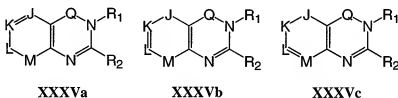
each R₁₉ is independently selected from the group consisting of hydrogen, halo, perhalo(C₁₋₁₀)alkyl, CF₃, cyano, nitro, alkyl, alkene, alkyne, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy,

arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted, with the proviso that R₁₉ is not alkylthio, arylthio, halo, cyano, nitro, and thio in the case where the ring atom to which R₁₉ is bound is nitrogen.

56. (withdrawn) A compound according to claim 55, wherein two R₁₉ are taken together to form a substituted or unsubstituted ring.

57. (withdrawn) A compound according to claim 55, wherein the compound comprises Formula XXXIVa and the two R₁₉ are taken together to form a substituted or unsubstituted fused or bridged ring.

58. (withdrawn) A compound comprising a member selected from the group of Formulae XXXVa, XXXVb and XXXVc:



wherein

Q is selected from the group consisting of CO, CS and C=NR₉;

J, K, L, and M are each independently selected from the group of moieties where the ring atom is C;

R₁ is benzyl, either unsubstituted or substituted with a substituent selected from the group consisting of (C₁₋₁₀)alkyl, (C₃₋₁₂)cycloalkyl, hetero(C₃₋₁₂)cycloalkyl, aryl(C₁₋₁₀)alkyl, heteroaryl(C₁₋₃)alkyl, (C₉₋₁₂)bicycloaryl, hetero(C₄₋₁₂)bicycloaryl, carbonyl (C₁₋₃)alkyl, thiocarbonyl (C₁₋₃)alkyl, sulfonyl (C₁₋₃)alkyl, sulfinyl (C₁₋₃)alkyl, imino (C₁₋₃)alkyl, amino, aryl, heteroaryl, hydroxy, alkoxy, aryloxy, heteroaryloxy, carbonyl, cyano, nitro, halo, imino, sulfonyl and sulfinyl groups;

R₂ is -UV;

U is selected from the group consisting of $-\text{CH}_2-$, $-\text{CH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{CH}_2-$, $-\text{C}(\text{O})-$, $-\text{CH}_2\text{C}(\text{O})-$, $-\text{C}(\text{O})\text{CH}_2-$, $-\text{CH}_2-\text{C}(\text{O})\text{CH}_2-$, $-\text{C}(\text{O})\text{CH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{C}(\text{O})-$, $-\text{O}-$, $-\text{OCH}_2-$, $-\text{CH}_2\text{O}-$, $-\text{CH}_2\text{OCH}_2-$, $-\text{OCH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{O}-$, $-\text{N}(\text{CH}_3)-$, $-\text{NHCH}_2-$, $-\text{CH}_2\text{NH}-$, $-\text{CH}_2\text{NHCH}_2-$, $-\text{NHCH}_2\text{CH}_2-$, $-\text{CH}_2\text{CH}_2\text{NH}-$, $-\text{NH}-\text{C}(\text{O})-$, $-\text{NCH}_3-\text{C}(\text{O})-$, $-\text{C}(\text{O})\text{NH}-$, $-\text{C}(\text{O})\text{NCH}_3-$, $-\text{NHC}(\text{O})\text{CH}_2-$, $-\text{C}(\text{O})\text{NHCH}_2-$, $-\text{C}(\text{O})\text{CH}_2\text{NH}-$, $-\text{CH}_2\text{NHC}(\text{O})-$, $-\text{CH}_2\text{C}(\text{O})\text{NH}-$, $-\text{NHCH}_2\text{C}(\text{O})-$, $-\text{S}-$, $-\text{SCH}_2-$, $-\text{CH}_2\text{S}-$, $-\text{SCH}_2\text{CH}_2-$, $-\text{CH}_2\text{SCH}_2-$, $-\text{CH}_2\text{CH}_2\text{S}-$, $-\text{C}(\text{O})\text{S}-$, $-\text{C}(\text{O})\text{SCH}_2-$, $-\text{CH}_2\text{C}(\text{O})\text{S}-$, $-\text{C}(\text{O})\text{CH}_2\text{S}-$, $-\text{CH}_2\text{SC}(\text{O})-$, $-\text{CHR}_9-$, $-\text{C}(\text{R}_9)(\text{R}_9)-$, $-\text{N}(\text{H})-$, $-\text{N}(\text{R}_9)-$, $(\text{C}_{3-7})\text{cycloalkyl}$, $(\text{C}_{3-6})\text{heterocycloalkyl}$, azetidin-1-yl, pyrrolidin-1-yl, piperidin-yl, hexahydroazepan-1-yl and piperazin-1-yl, each unsubstituted or substituted with a substituent selected from the group consisting of aldehyde, alicyclic, aliphatic, alkyl, alkylene, alkylidene, amide, amino, aminoalkyl, aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl group, cycloalkyl, cycloalkylene, ester, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl, oxo, hydroxy, iminoketone, ketone, nitro, oxaalkyl, and oxaalkyl moieties;

V comprises a primary, secondary or tertiary amine, a heterocycloalkyl comprising a nitrogen ring atom, or a heteroaryl comprising a nitrogen ring atom; and each R_9 is independently hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each unsubstituted or substituted with a substituent selected from the group consisting of aldehyde, alicyclic, aliphatic, alkyl, alkylene, alkylidene, amide, amino, aminoalkyl, aromatic, aryl, bicycloalkyl, bicycloaryl, carbamoyl, carbocyclyl, carboxyl, carbonyl group, cycloalkyl, cycloalkylene, ester, halo, heterobicycloalkyl, heterocycloalkylene, heteroaryl, heterobicycloaryl, heterocycloalkyl, oxo, hydroxy, iminoketone, ketone, nitro, oxaalkyl, and oxaalkyl moieties.

59. (withdrawn) A compound according to claim 58, wherein the compound is a compound where J, K, L and M each comprise a carbon ring atom.

60. (withdrawn) A compound according to claim 58, wherein at least one of J, K, L and M comprise a nitrogen ring atom.

61. (withdrawn) A compound according to claim 58, wherein the compound is a compound where J and K each comprise a nitrogen ring atom or J and L each comprise a nitrogen ring atom.

62. (withdrawn) A compound according to claim 58, wherein the compound is a compound where K and L each comprise a nitrogen ring atom or K and M each comprise a nitrogen atom.

63. (withdrawn) A compound according to claim 58, wherein the compound is a compound where J and M each comprise a nitrogen ring atom or L and M each comprise a nitrogen ring atom.

64. (withdrawn) A compound according to claim 58, wherein at least two of J, K, L and M comprise a nitrogen ring atom.

65. (withdrawn) A compound according to claim 58, wherein at least three of J, K, L and M comprise a nitrogen ring atom.

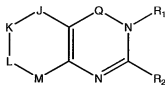
66. (withdrawn) A compound according to claim 58, wherein at least one of J, K, L and M is CO.

67. (withdrawn) A compound according to claim 58, wherein at least one of J, K, L and M is SO.

68. (withdrawn) A compound according to claim 58, wherein at least one of J, K, L and M is SO₂.

69. (withdrawn) A compound according to claim 58, wherein the ring formed by J, K, L, and M comprises substituents, through available valencies, that form a ring fused to the ring formed by J, K, L, and M or, in the case of Formula XXXVb, J and M form a bridged ring relative to the ring formed by J, K, L, and M.

70. (withdrawn) A compound comprising Formula XXXVI:



XXXVI

wherein

Q is selected from the group consisting of CO, CS and C=NR₉;

J, K, L, and M are each independently selected from the group of moieties where the ring atom is C;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein; and

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

71. (withdrawn) A compound according to claim 70, wherein at least one of J, K, L and M is CO.

72. (withdrawn) A compound according to claim 70, wherein at least one of J, K, L and M is SO.

73. (withdrawn) A compound according to claim 70, wherein at least one of J, K, L and M is SO₂.

74. (cancelled)

75. (withdrawn) A compound according to claim 70, wherein the compound is a compound where J comprises a nitrogen ring atom.

76. (withdrawn) A compound according to claim 70, wherein the compound is a compound where K comprises a nitrogen ring atom.

77. (withdrawn) A compound according to claim 70, wherein the compound is a compound where L comprises a nitrogen ring atom.

78. (withdrawn) A compound according to claim 70, wherein the compound is a compound where M comprises a nitrogen ring atom.

79. (withdrawn) A compound according to claim 70, wherein the compound is a compound where J and K each comprise a nitrogen ring atom or J and L each comprise a nitrogen ring atom.

80. (withdrawn) A compound according to claim 70, wherein the compound is a compound where K and L each comprise a nitrogen ring atom or K and M each comprise a nitrogen atom.

81. (withdrawn) A compound according to claim 70, wherein the compound is a compound where J and M each comprise a nitrogen ring atom or L and M each comprise a nitrogen ring atom.

82. (withdrawn) A compound according to claim 70, wherein at least two of J, K, L and M comprise a nitrogen ring atom.

83. (withdrawn) A compound according to claim 70, wherein at least three of J, K, L and M comprise a nitrogen ring atom.

84. (withdrawn) A compound according to claim 70, wherein the ring formed by J, K, L, and M comprises substituents that form a ring fused to the ring formed by J, K, L, and M.

85. (withdrawn) A compound according to claim 70, wherein the ring formed by J, K, L, and M comprises substituents that form a bridged ring relative to the ring formed by J, K, L, and M.

86. (withdrawn) A compound selected from the group consisting of:

2-Aminomethyl-3-phenyl-3H-quinazolin-4-one;

2-Ethylaminomethyl-3-phenyl-3H-quinazolin-4-one;

[(4-Oxo-3-phenyl-3,4-dihydro-quinazolin-2-ylmethyl)-amino]-acetic acid methyl ester;

[(4-Oxo-3-phenyl-3,4-dihydro-quinazolin-2-ylmethyl)-amino]-acetic acid;

2-Aminomethyl-3-(2,4-dichloro-phenyl)-3H-quinazolin-4-one;

2-Aminomethyl-3-(2-chloro-phenyl)-3H-quinazolin-4-one; and

2-Aminomethyl-3-(4-chloro-phenyl)-3H-quinazolin-4-one.